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NEWS 8 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY

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FILE 'HOME' ENTERED AT 15:20:39 ON 15 SEP 2005

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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Page 1 saeed

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 SEP 2005 HIGHEST RN 863180-19-2 DICTIONARY FILE UPDATES: 14 SEP 2005 HIGHEST RN 863180-19-2

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\10070281.str

chain nodes : 11 17 18 19 20 ring nodes :

chain bonds :

2-12 7-11 8-18 10-21 15-17 18-19 18-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-16 13-14 14-15 15-16 21-22 21-23 22-23

exact/norm bonds :

2-12 5-7 6-10 7-8 7-11 8-9 9-10 10-21 12-13 12-16 15-17 21-22 21-23 22-23

exact bonds :

8-18 13-14 14-15 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-20

isolated ring systems :

containing 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 15:21:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1665 TO ITERATE

100.0% PROCESSED 1665 ITERATIONS

SEARCH TIME: 00.00.01

TERATIONS 92 ANSWERS

L2 92 SEA SSS FUL L1

Page 3 saeed

=> s 12 full

FULL SEARCH INITIATED 15:24:37 FILE 'REGISTRY'

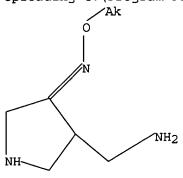
FULL SCREEN SEARCH COMPLETED - 1665 TO ITERATE

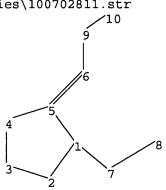
100.0% PROCESSED 1665 ITERATIONS 92 ANSWERS

SEARCH TIME: 00.00.01

92 SEA SSS FUL L1 L3

Uploading C:\Program Files\Stnexp\Queries\100702811.str





chain nodes : 6 7 8 9 10 ring nodes : 1 2 3 4 5 chain bonds :

1-7 5-6 6-9 7-8 9-10

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

2-3 3-4 5-6 6-9 7-8 9-10

exact bonds : 1-2 1-5 1-7 4-5 isolated ring systems : containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS

L4STRUCTURE UPLOADED

=> s 14

SAMPLE SEARCH INITIATED 15:25:01 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

Page 4 saeed

BATCH **COMPLETE**

PROJECTED ITERATIONS:

187 TO 773

PROJECTED ANSWERS:

2 TO 124

L5

2 SEA SSS SAM L4

=> s 14 full

FULL SEARCH INITIATED 15:25:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 580 TO ITERATE

100.0% PROCESSED 580 ITERATIONS

62 ANSWERS

SEARCH TIME: 00.00.01

ПФ

62 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

485.71

ENTRY SESSION

485.92

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:25:16 ON 15 SEP 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 15 Sep 2005 VOL 143 ISS 12 FILE LAST UPDATED: 14 Sep 2005 (20050914/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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348 L2

20 L6

L7 14 L2 AND L6

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L7 ANSWER 1 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
AUTHOR(\$):

CORPORATE SOURCE:
SOURCE:
PUBLISHER:
DOCUMENT TYPE:

CORPORATE SOURCE:
SOURCE:
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SOURCE:
JOHN WILE SONS LTD.
JOHN WILE SONS LTD.
JOHN WILE SONS LTD.

DOCUMENT TYPE: LANGUAGE:

UMCE: Journal
UAGE: English
A new antibacterial agent gemifloxacin was labeled with carbon-14 for studies of pharmacokinetics and metabolism, the label was located in

position

3 of the quinclone ring system. The overall radiochem, yield of the
14-step synthesis, starting from [2-14C] sodium acetate was 16.6%, and the
radiochem. purity 97.5%.

IT 215229-16-6

215229-10-0 RL: RCT (Reactant): RACT (Reactant or reagent) (synthesis of carbon-14 labeled gemifloxacin from [2-14C]sodium acetate) 215229-16-6 CAPLUS

3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

840475-05-0P

840475-05-0F
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of carbon-14 labeled gemifloxacin from [2-14C]sodium sectate)
840475-05-0 CAPLUS
1,8-Maphthyridine-3-14C-3-carboxylic acid, 7-[(4E)-3-(aminomethyl)-4-(methoxylimino)-1-pyriclidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9C1) (CA INDEX NAME)

Double bond geometry as shown.

L7 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
REFERENCE COUNT: 10 THENE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITED REFERENCES AVAILABLE FOR THIS

L7 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

840475-06-1P
RL: SPN (Synthetic preparation), PREP (Preparation)
(synthesis of carbon-14 labeled gemifloxacin from [2-14C]sodium acetate)
840475-06-1 CAPLUS
1,8-Naphthyridine-3-14C-3-carboxylic acid, 7-[(4E)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,monomethanesulfonate (9CI) (CA INDEX NAME)

CRN 840475-05-0 CMF C18 H20 F N5 O4

Double bond geometry as shown.

2 CM

CRN 75-75-2 CMF C H4 03 S

L7 ANSWER 2 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
1111E:
2004:902347 CAPLUS
141:379800
Process for preparing 4-aminomethyl-3alkoxyiminopyrrolidine methanesulfonates from
N-protected 4-cyano-3-axopyrrolidines and
(halo) alkoxyamines.

HWANG, Gyo-Hyuni Kim, Yeong-Daei Nam, Hyuni Chang,
Jay-Hyoki Shin, Hyuni-Kir Kim, Young-Keuni Lee,
Kyung-Heer Lee, Jae-Sungi Noh, Hyun-Kuk
SOURCE:
DOCUMENT TYPE:
4 CAPLUS COPYRIGHT 2005 ACS on STN
2004:902347 CAPLUS
141:379800
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141:379

Patent English 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE

A1 20041028 WO 2004-KR476 20040

AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
CUC, CZ, DE, DK, DH, DZ, EC, EE, EG, ES, F1, GB,
CHR, HU, 1D, 1L, IN, 1S, JP, KE, KG, KP, KZ, LC,
LU, LV, MA, HD, MG, MK, MN, MV, HX, MZ, NA, NI,
FH, FL, FT, FT, RO, RU, SC, SD, ES, GS, SK, SL, SY,
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

KK, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
ND, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, NB,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, PATENT NO. PATENT NO.

WO 2004092129

W: AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LR, LS, LT,
NZ, OM, PG,
TM, TN, TR,
RW: BW, GH, GM,
BY, KG, KZ,
ES, FI, FR,
SK, TR, BF,
TD, TG TD, TG
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI KR 2003-14469 A 20030307 CASREACT 141:379800, MARPAT 141:379800

Title compds. [I; R = (halo)alkyl], were prepared by treatment of 4-cyano-3-oxopyrrolidines (II; P = protecting group) with a (halo)alkoxyanine (salt) to give the protected oxime-nitriles which were treated with MeSORI followed by catalytic hydrogenation. Thus, N-BOC-4-cyano-3-oxopyrrolidine was stirred 5 h with MeORH2.HCl and pyridine in MeOH to give 92.84 N-BOC-4-cyano-3-methoxyiminopyrrolidine. The latter was refluxed 30 min. with MeSORI in MeOH to give 98.84 4-cyano-3-methoxyiminopyrrolidine methanesulfonate. This was hydrogenated in MeOH over Pd/C at 25 and 500 psig HZ to give 23.18 4-aminomethyl-3-methoxyiminopyrrolidine methanesulfonate. 329181-36-49 RL: IMF (Industrial manufacture), RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of aminomethylalkoxyiminopyrrolidine methanesulfonates from

L7 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Copyright 2005 ACS on S

CRN 284474-14-2 CMF C6 H13 N3 O

Double bond geometry as shown.

CM 2

IT

175463-14-6P 210353-53-0P 210353-56-3P
RL: SPN (Synthetic preparation) PREP (Preparation)
(preparation of aminomethylalkoxyiminopyrrolidine methanesulfonates from
protected cyanooxopyrrolidines and alkoxyamines)
175463-14-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4(methoxyimino)-1-pyrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(SCI) (CA INDEX NAME)

Double bond geometry as shown.

L7 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 1

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

2

CRN 75-75-2 CMF C H4 03 5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

210353-53-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monomethanesulfonate (9CI) (CA INDEX NAME)

Double bond geometry as shown.

2 CM.

CRN 75-75-2 CMF C H4 03 S

210353-56-3 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxyimino)-1pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,
monomethanesulfonate, hydrate (2:3) (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

141:381357

TITLE:

Synthesis of the Intermediate of Gemifloxacin by the Chemoselective Hydrogenation of 4-Cyano-3-methoxyimino1-(N-tert-butoxycarbonyl)pyrrolidine. Part 2. The Palladium Catalysts in Acidic Media

Noh, Ryun Kuk; Lee, Jae Sung; Kim, Yeongdar Hwang, Gyohyun Chang, Jay Hyok; Shin, Hyunik; Nam, Do Hyun; Lee, Kyung Hee

CORPORATE SOURCE:

CORPORATE SOURCE:

SOURCE:

Organic Process Research Edvelopment (2004), 8(5), 788-795

COUNCE:

Organic Process Research Edvelopment (2004), 8(5), 788-795

COUNCE:

Organic Process Research Edvelopment (2004), 8(5), 788-795

COUNCE:

OURCE:

American Chemical Society

Journal

LANGUAGE:

CASPECT 141:381357

Organic Process Research & Development (2004), \$(5), 788-795

CODEN: OPRDEK, ISSN: 1083-6160

PUBLISHER: American Chemical Society

Journal LANGUAGE: English

OTHER SOURCE(S): English

OTHER SOURCE(S): English

OTHER SOURCE(S): English

AB Chemoselective hydrogenation of 4-cyano-3-methoxyimino-1-(N-tert-butoxycarbonyl)pyrrolidine sthanesulfonate (AMPH), the key intermediate for gemifloxacin, was investigated over Pd catalysts with in situ acid protection. Addition of more than 1.6 equiv of acidic protons for CMBP was found to drastically elevate both the reaction rate and selectivity to 4-aminomethyl-3-Z-methoxymino-1-(N-tert-butoxycarbonyl)pyrrolidine

(Z-AMBP) over Pd catalyst with a complete suppression of the major side reaction to 4-cyano-3-amino-1-(N-tert-butoxycarbonyl)-3,-pyrroline

(CABP). Methanol as the organic solvent was found to increase the hydrogenation rate greatly compared to other solvents with a negligible decrease of selectivity. The leaching of Pd by acid and consequent accumulation of Pd ion in the reaction mixture was negligible in CMBP hydrogenation. The novel process of chemoselective CMBP hydrogenation in acidic media over Pd catalyst was thus much simpler yet more efficient compared to the conventional one. The whole AMPM process time starting from 1-(N-tert-butoxycarbonyl)-4-cyanopyrrolidine-3-one (ECPO) could be reduced by at least approx. 15 h which would result in a great reduction of materials such as catalysts, (t-Boc)20, and solvent. Addin., reduction of reaction steps improved the overall yield of AMPM significantly.

Employment of methnessulfonic acid as an acidic agent in the hydrogenation step silved an environmentally benign pathway to AMPM by omission of a neutralization step with an extra reduction in process time and materials consumed.

neutralization step with an extra reduction in process time and materials consumed.

173463-14-69, Gemifloxacin 329181-36-49
RI: IHF (Industrial manufacture), PREP (Preparation)
(synthesis of intermediate of gemifloxacin by chemoselective hydrogenetion of cyanomethoxyimino tertbutoxycarbonylpyrolidine)
175463-14-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

L7 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

329181-36-4 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, (32)-, dimethanesulfonate (9CI) (CA INDEX NAME)

Double bond geometry as shown.

CM 2

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The invention relates to a process for preparing acid salts of gemifloxacin (I), a known quinolone-type antibiotic agent having potent antimicrobial activity. The process provides advantages such as simplicity of process, improvement of productivity, improvement of yield, and the like, by reducing a conventional three-step process to two steps. More specifically, by using a Schiff base-protected intermediate as the product of the first step, and its concomitant hydrolysis during salt formation in the second step, a secondary amine byproduct is avoided, and the normal third step (recrystn.) can be omitted, leading to higher yields and purity. The claimed invention involves preparation of I-HA (HA = organic or inorg, acid] in two steps. In the first step, activated naphthyridine derivs. II react with (aminomethyl) pyrrolidine derivative salts III-2HX and carbonyl compds. RICOR2 in an aqueous and/or organic solvent in the sence

presence
of an organic base, to give Schiff base-protected intermediates IV [wherein:
R = Cl, F, Br, lodo, MeSO2, PHECHISO2; X = Cl, Br, I, CF3COO, MeSO3,
PHECHISO3, or HSO4; Rl, R2 = H, [un)saturated (cyclo)alkly, aromatic group
optionally substituted by alkly, alkoxy, OH, cyano, or halo; or RRZ form
a ring]. In the second step, treatment of IV with acids HA in an aqueous
and/or organic solvent gives simultaneous deprotection and salt formation to
yield I:HA. Six examples of the first step, and two examples of
the second step are given. In the first step, the preferred carbonyl
compound is bensaldehyde, in terms of cost and stability. The preferred
temperature range is 20-30 in view of reaction rate, yield, and purity.
The preferred base is ELTN in terms of cost and styleld. High-purity IV may
be produced in > 300 yield. In the second step, the preferred solvent is
aqueous isopropanol in view of yield and purity. The most suitable acid HA

MeSO3H, and the preferred temps. are 40-50° for addition of the acid, and 0-20° thereafter. Compared to the prior art, yields of I-HA are increased from about 65% to > 80%. The process can also be applied to other quinolone antibiotics with structures similar to that of I. For instance, reaction of III-2MeSO3H in aqueous MeCN at

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:837086 CAPLUS
139:337986 CAPLUS
139:337986 Improved two-step process for preparing acid salts of geniflowacin via Schiff-base protected intermediates
Chot, Hoon' Choi, Sang-Chuln Nam, Do-Hyun, Choi, Bo-Seung
PATENT ASSIGNEE(s): LG Life Sciences Ltd., S. Korea
PCT Int. Appl., 21 pp.
CODEN: PIXXD2
PATENT TYPE: Patent
LANGUAGE: PATENT ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	A1 20031023	WO 2003-KR683	20030404
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, I	BZ, CA, CH, CN,
CO, CR, CU,	CZ. DE. DK. DM.	DZ, EC, KE, ES, FI, C	B. GD. GE. GH.
GM, HR, HU,	ID. IL. IN. IS.	JP, KE, KG, KP, KZ, I	C. LK. LR. LS.
		MN, MW, MX, MZ, NI, 1	
		SG, SK, SL, TJ, TM, 1	
	UZ, VC, VN, YU,		,,,
		SL, SZ, TZ, UG, ZM, 2	7W AM A7 RY
		BE, BG, CH, CY, CZ, I	
		LU, MC, NL, PT, RO, S	
		GN, GQ, GW, ML, MR, 1	
		KR 2002-18847	
CA 2481217	AA 20031023	CA 2003-2481217	20030404
EP 1497290	A1 20050119	EP 2003-715805	20030404
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, N	NL, SE, MC, PT.
		CY, AL, TR, BG, CZ, E	
		BR 2003-9037	
US 2005148622	A1 20050707	US 2003-510514	20030404
PRIORITY APPLN. INFO.:	20000.01	KR 2002-18847	3 20020404 3 20020408
		WO 2003-KR683	

KR 2002-18847 WO 2003-KR683 CASREACT 139:337960; MARPAT 139:337960 OTHER SOURCE(S):

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 0-5°, first with PhCHO and Et3N, and then with II (R = Cl), followed by warming to room temp., gave IV (RI or R2 = Ph) other = H) in 94.8 yield on a 320-9 scale. Hydrolysis of the latter in aq. iso-PrOH by dropwise addn. of MeSO3H at 40-45°, followed by cooling and seeding, gave I.MeSO3H in 95.1% yield.

827-84-0F BYP (Byproduct), PREP (Preparation) (avoided byproduct, improved preparation of gemifloxacin acid addition

via Schiff base-protected intermediates)
616827-84-0 CAPLUS
1.8-Naphthyridine-3-carboxylic acid, 7-[3-[[[6-carboxy-8-cyclopropyl-3-fluoro-5,8-dihydro-5-oxo-1,8-naphthyridin-2-yl]amino]methyl]-4(mathoxyimino)-1-pyrclidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

175463-14-6DP, Gemifloxacin, organic and inorg. acid addition salts 210353-53-0P, Gemifloxacin mesylate RL: IMF (Industrial manufacture), SFN (Synthetic preparation), PREP (Preparation) [Inproved preparation of gemifloxacin acid addition salts via Schiff base-protected intermediates) 175463-14-6 CAPLUS 1,8-Naphthyridins-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(mathoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown

210353-53-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(methoxyimino)-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,
monomethaesulfonste (9CI) (CA INDEX NAME)

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

CM. 2

CRN 75-75-2 CMF C H4 03 S

IT 616927-43-1P, 7-[3-[(Benzylideneamino)methyl]-4-((Z)-methoxyimino)1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine3-carboxylic acid 616827-48-69, 7-[3-[([2Chlorobenzylidene]amino]methyl]-4-([2]-methoxyimino)-1-pyrrolidinyl]-1cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylic acid
616827-55-69, 7-[3-[([2-iydroxybenzylidene]amino]methyl]-4-([2]methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8naphthyridine-3-carboxylic acid 616827-63-59,
7-[3-[([4-cyanobenzylidene]amino]methyl]-4-([2]-methoxyimino)-1pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3carboxylic acid 616827-70-49, 7-[3-[([4Methoxybenzylidene]amino]methyl]-4-([2]-methoxyimino)-1-pyrrolidinyl]-1cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylic acid
616827-77-1P, 7-[3-[([1-Naphthylmethylene)amino]methyl]-4-([2]methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8naphthyridine-3-carboxylic acid
RL: RCT (Reactant) SFN (Synthetic preparation), PREF (Preparation), RACT
(Reactant or reagent)

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

616827-63-5 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(42)-3-[[[(4-cyanophenyl)methylene]amino]methyl]-4-(methoxylmino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

616827-70-4 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-[(32)-3-(methoxyimino)-4-([[(4-methoxyphenyl)methylene]amino]methyl]-1-pyrrolidinyl]-4-oxo-(9C1) [(CA INDEX NAME)

bond geometry as described by E or Z.

616827-77-1 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7[(32)-3-(methoxyriaino)-4-[[(1-naphthalenylmethylene)emino]methyl]-1pyrrolidinyl]-4-oxo- (9CI) (CA INDEX NAME)

Page 9 saeed

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Schiff base-protected intermediates)
RN 616827-43-1 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7[(3Z)-3-(methoxyimino)-4-[[(phenylmethylene)amino]methyl]-1-pyrrolidinyl]4-oxo- (SC1) (CA INDEX NAME)

Double bond geometry as described by E or Z.

616827-48-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(4Z)-3-[[(2-chlorophenyl)methyl)nethylnene]amino]methyl]-4-(methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

616827-56-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7[(42)-3-[([4-hydroxyphenyl)methylene]anino]methyl-4-(methoxyimino)-1pyrrolidinyl]-4-oxo- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or 2.

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN Double bond geometry as described by E or 2. (Continued)

197143-35-4, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine dihydrochloride 329181-36-4, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine methanesulfonate (1:2) 615826-97-2, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine dihydrobromide 616827-05-5, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine dihydrodide 616827-13-5, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine dihydrodidine direlevation for pyrrolidine first fluoroacetate (1:2) 616827-27-1, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine toxylate (1:2) 616827-34-0, (Z)-3-{Aminomethyl}-4-{methoxyimino}pyrrolidine sulfate (1:2) RL: RCT (Reactant); RACT (Reactant or reagent) (starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material; improved preparation of gemifloxacin acid addition contents of the starting material contents of the sta

via Schiff base-protected intermediates)
197143-35-4 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, dihydrochloride, (32)-(9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ Z \\ \text{OMe} \end{array}$$

●2 HC1

329181-36-4 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, 0-methyloxime, (3Z)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 284474-14-2 CMF C6 H13 N3 O

Double bond geometry as shown.

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 2

RN 616926-97-2 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, dihydrobromide, (32)-(9Cl) (CA INDEX NAME)

Double bond geometry as shown.

●2 HBr

RN 616827-05-5 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, dihydriodide, (32)(9C1) (CA INDEX NAME)

Double bond geometry as shown.

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Double bond geometry as shown.

CH 2

CRN 104-15-4 CMF C7 H8 03 S

RN 616827-34-0 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-methyloxime, (3Z)-, sulfate (1:2) (9CI) (CA INDEX NAME)

CM

CRN 284474-14-2 CMF C6 H13 N3 O

Double bond geometry as shown.

CH :

CRN 7664-93-9

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 10 saeed

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

●2 HI

RN 616827-13-5 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, (3Z)-,
bis(trifluoroacetate) (9Cl) (CA INDEX NAME)

CH 1

CRN 284474-14-2 CMF C6 H13 N3 O

Double bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 02

RN 616827-27-1 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, (3Z)-,
bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 284474-14-2 CMF C6 H13 N3 O

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

L7 ANSWER 5 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2003:117704 CAPLUS
138:172243
18:172243
Processes for the production of amino-protected derivatives of 4-aminomethylene-pyrrolidin-3-one and/or 4-aminomethylene-pyrrolidin-3-one and/or 4-aminomethylene-pyrrolidin-3-one and/or 4-aminomethylene-pyrrolidin-3-one and/or 4-aminomethylene-pyrrolidin-3-one and/or 5-aminomethylene-pyrrolidin-3-one Anthonylene-pyrrolidin-3-one and/or 5-aminomethylene-pyrrolidin-3-one and/or 5-aminomethylene-pyrrolidin-3-aminomethylene-pyrrolidin-3-aminomethylene-pyrrolidin-3-aminomethylene-pyrrolidin-3-aminomethylene-pyrrolidin-3-aminomethylene-pyrrolidin-3-aminomethylene-pyrrolidin-3-aminomethylene-p Neville SB Pharmco Puerto Rico Inc., USA; LG Chem Investment, PATENT ASSIGNEE(S): Ltd. PCT Int. Appl., 78 pp. CODEN: PIXXD2 SOURCE: DOCUMENT TYPE: LANGUAGE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: OTHER SOURCE(S): MARPAT 138:172243 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CM CRN 75-75-2 CMF C H4 03 S -снэ 329322-83-0P 329322-84-1P
RL: INF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(processes for production of amino-protected derivs. of 4-aminomethylen-pyrrolidin-3-one gemifloxacin)
329322-83-0 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-methyloxime, dimethanesulfonate (9CI) (CA INDEX NAME) CM 1 CRN 175463-84-0 CMF C6 H13 N3 O CM 2

ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The invention provides a process for the production of a compound of formula [I]: wherein Pl and P2, which may be the same or different, are amino protecting groups, which comprises protection of a compound of formula [II] in solution phase continuous operation mode. This confers advantages over batch mode operation. The process is usually conducted in reaction equipment adapted for use in continuous processing mode, for example comprising one or more static mixers or a plug flow reactor. Preferably, the plug flow reactor comprises a jacketed tubular reactor fitted inside with internal mixing elements which continually split and premix the reaction streams promoting mass and heat transfer, whereby a uniform plug flow profile with turbulent fluid flow is achieved. The invention also provides a process for production of the antibacterial compound gemiflowacin or a pharmaceutically acceptable salt and/or hydrate thereof, comprising converting a compound of formula [I].

IT 178463-84-0 CAPLUS

RI 1MF (Industrial manufacture); RCT (Reactant); PREF (Preparation); RACT (Reactant or reagent)

(in production of maino-protected derivs. of 4-aminomethylene-pyrrolidin-3-one gemiflowacin)

RN 178463-84-0 CAPLUS

RN 329322-85-2 PR

RL: IMF (Industrial manufacture); RCT (Reactant); TEM (Technical or engineered material use); PREF (Preparation); RACT (Reactant or reagent); USES (Uses)

(processes for production of anino-protected derivs. of 4-aminomethylene-pyrrolidin-3-one gemiflowacin)

RN 329322-85-2 PRUS

RN 329322-85-2 PRUS

RN 329322-85-2 PRUS

N 1840 PRUS N SOM

L7 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

но— 5— снз

RN 329322-84-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-{aminomethyl}-4-{methoxyimino}-1pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX
NAME)

HO₂CH₂-NH₂CH₂-NH₂

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:242153
TITLE:
A process for the preparation of pyrrolidino-quinoline-carboxylic acid derivatives (e.g. gemifloxacin) with improved filtration
Kim. Bong Chan
Kim. Bong Chan
Kim. Bong Chan
Kim. Bong Chan
FATENT ASSIGNEE(S):
UG Chem Investment Ltd., S. Kores; Kim, Yeong Dae;
Choi, Hoons Kim, Won Sup
PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
Patent
LANGUAGE:
Patent
English
FAMILY ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	WO	2001	10686	49		A1		2001	0920		WO	2001-	KR39	9		2	0010	314
		V:	AE,	AG.	AL.	AM.	AT.	ΑU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EE.	ES	, FI,	GB,	GD.	GE,	GH,	GM,	HR.
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$$\mathbb{R}^{3} \underset{\mathbb{R}^{4}}{\overset{\circ}{\bigvee}} \underset{\mathbb{R}^{2}}{\overset{\circ}{\bigvee}} \underset{\mathbb{R}^{1}}{\overset{\circ}{\bigvee}} \underset{\mathbb{R}^{1}}{\overset{\circ}{\bigvee}} \underset{\mathbb{R}^{2}}{\overset{\circ}{\bigvee}}$$

The present invention relates to a novel process for preparing quinoline carboxylic acid antimicrobials I (e.g. gemifloxacin) (Q = CH, CF, CCI, COH, COMe, N, R = H, Me, NHZ; RI = cyclopropyl, Et, substituted-Ph; RZ = H, alkyl, aryl, allyl; R3, R4 = H, alkyl, or together with the N atom to which they are attached form a cycle]. For instance, Et3N, methylcellulose (1.0 weight * relative to pyrrolidine reactant) and 4-aminomethyl-3-methoxylminopyrrolidine were added sequentially to an eous AB aqueous

L7 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

IT

178463-84-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of pyrrolidino-quinoline-carboxylic acid
derivs. (e.g. gemifloxacin) with improved filtration)
178463-84-0 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-methyloxime (SCI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) soln. of 3-carboxy-7-chloro-1-cyclopropyl-6-fluoro-4-cxo-1,4-dibydro[1,8] naphthyridine and allowed to stir at room temp. for 16.5 h. The resulting mixt. was filtered on a glass filter and the product washed. Addn. of the surfactant, methylcellulose, resulted in a faster filtration, 5 min vs. 9 min (scale, 34 - 37 g product). Other process parameters evaluated included sequence of addn. of reagents, variation of reaction temp. and surfactant.
210333-36-39 22932-8-19
RL: IHF (industrial manufacture), SPN (Synthetic preparation), PREP (Preparation)
(process for the preparation of pyrrolidino-quinoline-carboxylic acid derivs. (e.g. gemifloxacin) with improved filtration)
210353-56-3 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxymino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-cxo-, monomethanesulfonate, hydrate (2:3) (SCI) (CA INDEX NAME)

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

CM 2

CRN 75-75-2 CMF C H4 03 S

329322-84-1 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	TENT :	NO.			KIN	D .	DATE			APP	LI	CAT	ION				ATE	
WO	2001								WO 2000-GB3366									
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		CR,	CU,	CZ,	DE.	DK.	DM,	DZ.	EE.	ES	5.	FI.	GB.	GD.	GE.	GH.	GM.	HR.
		HU.	ID.	IL.	IN.	IS.	JP,	KE.	KG.	KP		KR.	KZ.	LC.	LK.	LR.	LS.	LT.
		LU.	LV.	MA.	MD.	MG.	MK,	MN.	MV.	MX	ζ.	MZ.	NO.	NZ.	PL.	PT.	BO.	BIJ.
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EP	1214	321	•		Ä1		2002	0619		RP	20	00-	3587	76		-	0000	001
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HK	1047	93			A1		2005	0527		нх	20	02-	1084	62		,	0021	121
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Page 12 saeed

L7 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I (R = alkyl, haloalkyl) were prepared by reaction of II (X = a leaving atom/group) with III or its salt. Thus, 5.1 mL Bt3N was added to 3.05 g II (X = Cl) in 25 mL water at 15-20°, and the mixture was stirred for 20 min, after which 3.86 g 4 - (aminomethyl)-3- (methoxyimino)pyrrolidinium dimethanesulfonate was added, followed by 5 mL water, and the mixture was stirred at 20-25° for 17.75 h to give 4.23 g syn-I (R = Me).
175463-14-69 32918-36-49
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(production of naphthyridine-3-carboxylic acid derivs.)
175463-14-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

329181-36-4 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, (32)-,

CM 1 CRN 284474-14-2 CMF C6 H13 N3 O Double bond geometry as shown.

L7 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN dimethanesulfonate (9CI) (CA INDEX NAME)

(Continued)

2

IT

210353-53-0P
RL: SPN (Synthetic preparation), PREP (Preparation)
(production of naphthyridine-3-carboxylic acid derivs.)
210353-53-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(42)-3-(aminomethyl)-4-(methoxylinino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,monomethanesulfonate (9CI) (CA INDEX NAME)

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

L7 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:185719 CAPLUS
TITLE: Preparation of intermediates for the production of naphchyridinecarboxylic acid-derivative antibiotics
Grinter, Trevor John Howle, Simon
SUNCE: SPARENCE FUETCH 7 Per COUENT FIXED
DOCUMENT TYPE: COUENT FIXED
LANGUAGE: Emplish
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 2001017961 A2 20010315 WO 2000-GB3358 20000901 WO 2001017961 A3 20010920 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CR CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HE HU, ID, ILI, IN, IS, JP, KE, KG, FK, KR, KZ, LC, LK, LK, LS, LT LU, LV, MA, HD, MG, MK, MN, MY, MK, MZ, NO, NZ, PL, PT, RO, RI SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VR YU, ZA, ZY, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GH, KE, LS, MW, MZ, BJ, SL, SZ, TZ, UG, ZW, AT, BE, CH, CT DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, KN, LPT, SR, BF, BS CF, CG, CI, CM, GA, GH, GW, ML, MR, NZ, SN, TD, TG CR 2383751 AA 20010315 CA 2000-233751 20000901 BR 2000013750 A 20020521 BR 2000-13750 20000901 EF 1212321 A2 20020612 EP 2000-956706 20000901 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT IE, SI, LT, LV, FI, RO, MK, CY, AL TR 200200548 T2 20020923 TR 2002-20020548 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 A 20030829 NZ 2000-517661 20000901 AU 773698 B2 20040715 NZ 2000-56706 20000901 AU 773698 B2 20040715 NZ 2000-5873 20000901 AU 773698 B2 20040715 NZ 2000-5873 20000901 AU 773698 B2 20040715 NZ 2000-5873 20000901 AU 773698 B2 20040715 NZ 2000-58709 2000-58709 2000-59000000000000000000000000000000000		PA1	TENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		1	DATE	
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ES 2223570 T3 20050301 ES 2000-956706 20000901 NO 2002001043 A 20020301 NO 2002-1043 20023030 ZA 2002001779 A 20030604 ZA 2002-1779 20020304 US 6703512 B1 20040309 US 2002-88149 200205303 HK 1046908 A1 20050527 HK 2002-108460 20021121 US 2004138292 A1 20040715 US 2003-742797 20031223 US 6803467 B2 20041012 US 2003-742797 20031223 US 2005033064 A1 20050210 US 2004-935357 20040908 RIORITY APPLM. INFO.: GB 1999-20919 A 19990903 WO 2000-683358 W 20000901 US 2002-88149 A3 200205301 HER SOURCE(5): MARPAT 134:222700		ΑT	2706	71			E		2004	0715		AT :	2000-	9567	06		:	20000	901
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ZA 2002001779 A 20030604 ZA 2002-1779 20020304 US 6703512 B1 20040309 US 2002-88149 20020536 US 20036060 A1 20050527 HK 2002-100460 20021121 US 2004138292 A1 20040715 US 2003-742797 20031223 US 6803467 B2 20041012 US 2005033064 A1 20050210 US 2004-935357 20040950 US 2005033064 A1 20050210 US 2004-935357 200409090 US 2002-88149 A3 200205306 US 2002-88149 A3 200205301 US 2002-88149 A3 200205301 US 2003-742797 A1 20031223 THER SOURCE(S): MARPAT 134:222700		NO	2002	0010	43		A		2002	0301		NO :	2002-	1043			- 1	20020	301
US 6703512 B1 20040309 US 2002-88149 20020533 HX 1046908 A1 20050527 HX 2002-108460 20021121 US 2004138292 A1 20040715 US 2003-742797 20031223 US 6803467 B2 20041012 US 2004-935357 20040908 RIORITY APPLN. INFO:: GB 1999-20919 A 19990903 US 2002-88149 A3 2002530 US 2002-88149 A3 2002530 HERR SOURCE(5): MARPAT 134:222700		ZΑ	2002	0017	79		Α		2003	0604		ZA :	2002-	1779			- 2	20020	304
HK 1046908 A1 20050527 HX 2002-108460 20021121 US 2003138292 A1 20040715 US 2003-742797 20031223 US 6803467 B2 20041012 US 2005033064 A1 20050210 US 2004-935357 20040936 RIORITY APPLN. INFO.: GB 1999-20919 A 19990903 US 2002-88149 A3 20020530 US 2002-88149 A3 20020530 US 2002-742797 A1 20031223 THER SOURCE(5): MARPAT 134:222700		US	6703	512			В1		2004	0309		US :	2002-	8814	9		- 2	20020	530
US 2004138292 A1 20040715 US 2003-742797 20031223 US 2003467 B2 20041012 US 2004-935357 20040908 RIORITY APPLM. INFO:: W		HК	1046	908			A1		2005	0527		HK :	2002~	1084	60		- 2	20021	121
US 6803467 B2 20041012 US 2005033064 A1 20050210 US 2004-935357 20040908 RIORITY APPLN. INFO.: GB 1999-20919 A 19990903 US 2002-88149 A3 20020530 US 2002-88149 A3 20020530 US 2003-742797 A1 20031223 THER SOURCE(5): MARPAT 134:222700		US	2004	1382	92		A1		2004	0715		US :	2003-	7427	97		- 2	20031	223
US 2005033064 A1 20050210 US 2004-935357 20040908 RIORITY APPLN. INFO.: GB 1999-20919 A 19990903		US	6803	467			В2		2004	1012									
RIORITY APPLN. INFO.: GB 1999-20919 A 1990903 W0 2000-683518 W 20000-083518 W 200009019 US 2002-88149 A3 20020530 US 2003-742797 A1 20031223 THER SOURCE(5): MARPAT 134:222700		US	2005	0330	64		A1		2005	0210		US :	2004-	9353	57		- 2	20040	908
W0 2000-GB3358 W 20000901 U5 2002-88149 A3 20020530 U5 2003-742797 A1 20031223 THER SOURCE(5): MARPAT 134:222700	RIO	RITY	Y APP	LN.	info	.:						GB	1999-	2091	9		A 1	19990	903
US 2002-88149 A3 20020530 US 2003-742797 A1 20031223 THER SOURCE(5): MARPAT 134:222700												WO :	2000-	GB33	58	1	7	20000	901
US 2003-742797 A1 20031223												US :	2002-	8814	9		A3 a	20020	530
THER SOURCE(S): MARPAT 134:222700												us :	2003~	7427	97		A1 2	20031	223
1	THEI I	R SC	URCE	(5):			MAR	PAT	134:	2227	00								

OTHER SOURCE(S):

L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Quinolonecarboxylic acid-derivative antibiotics (I/R = Cl-4 alkyl, Cl-4 haloalkyl) are prepared in high yield and selectivity by the reaction of fluorequinolonecarboxylic acids (II/X = leaving group) with 4-(aminomethyl)-3-(alkoxymimol)pyrrolidinium dimethanesulfonates (III). Thus, triethylamine was added to 7-chloro-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid, the mixture stirred and 4-(aminomethyl-1)-3-(methoxymimol)pyrrolidinium dimethanesulfonate added, producing 7-(3-aminomethyl-4-sym-methoxymimolypyrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid in 861 yield.
329322-03-0P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); PRCT

IT 329322-03-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of intermediates for the production of naphthyridinecarboxylic acid-derivative antibiotics)
RN 329322-83-0 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, dimethanesulfonate (SCI) (CA INDEX NAME)

CM 1

ΙT

CRN 175463-84-0 CMF C6 H13 N3 O

L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

CМ 2

CRN 75-75-2 CMF C H4 03 S

L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

CH 2

CRN 75-75-2 CMF C H4 03 S

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

329322-85-2 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxyimino)-1pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,
monomethanesulfonate (SCI) (CA INDEX NAME)

CM 1

CRN 329322-84-1 CMF C18 H20 F N5 O4

L7 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
133:125387
Direct liquid chromatographic enantiomer separation of new fluoroquinolones including gemifloxacin
Lee, W., Yong Hong, C.
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
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DOUDENT TYPE:

PUBLISHER:

DOCUMENT TYPE:

DOCUMENT TYPE:

Language

Bisevier Science B.V.

Journal ALMGUAGE:

English

AB The enantiomers of gemiflowacin mesylate (formerly LB20304a), a new fluoroquinolone compound with potent in vitro and in vivo antibacterial profile were resolved on a com. available Crownpak CR chiral stationary phase (CSP). All of the fluoroquinolones, including gemiflowacin used in this study, were resolved on Crownpak CR(+) column. These results are the first reported for the direct separation of the enantiomers of quinolones on chiral crown ether coated Crownpak CR (SP). The behavior of chromatog. parameters by the change of mobile phase additives for the resolution of gemiflowacin was investigated. Also, the effect of structural change of gemiflowacin on chiral recognition was described.

IT 173463-14-6 197143-43-4 210353-83-0, Gemiflowacin menylate 210353-83-0, Gemiflowacin menylate 210353-84-1 204474-11-9 204474-12-0 204474-14-2 204474-15-3 204474-26-2 204474-26-2 204474-26-3 204474-26-3 204474-26-3 204474-26-3 204474-26-3 204474-36-3 204474-

Double bond geometry as shown.

197143-43-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(42)-3-(aminomethyl)-4-(ethoxyinino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

210353-53-0 CAPLUS
1,8-Msphthyridine-3-carboxylic acid, 7-[(42)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monomethanesulfonate (9CI) (CA INDEX NAME)

CH 1

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

2 CH

CRN 75-75-2 CMF C H4 03 S

210353-54-1 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4E)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

284474-11-9 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(35,42)-3-{aminomethyl}-4-(mathoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

284474-12-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(3R,42)-3-(aminomethyl)-4(mathoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 284474-14-2 CAPLUS 3-Pyrrolidinone, 4-(sminomethyl)-, 0-methyloxime, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

284474-15-3 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, 0-methyloxime, (3Z,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

284474-17-5 CAPLUS
3-Pyrrolidinone, 4-{aminomethyl}-, O-methyloxime, (3Z,4R)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

284474-24-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 5-amino-7-[(42)-3-(aminomethyl)-4(methoxylmino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-cxc(9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

284474-25-5 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 5-amino-7-[[3R,42]-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

284474-26-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 5-amino-7-[(3S,4Z)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

284474-29-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(3R,4E)-3-(aminomethyl)-4-(methoxyinino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN Double bond geometry as shown.

284474-30-2 CAPLUS
1,8-Maphthyridina-3-carboxylic acid, 7-[(3S,4E)-3-(aminomethyl)-4(methoxylmino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

284474-34-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-{(3R,4Z)-3-(aminomethyl)-4-(ethoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

284474-35-7 CAPLUS

L7 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1999:576910 CAPLUS DOCUMENT NUMBER: 131:201515

TITLE:

131:201515
Process for preparing a protected 4aminomethylpyrrolidin-3-one
Moon, Kwang Yul; Xim, Won Sup; Lee, Tae Hee; Chang,
Jay Hyok
LG Chemical Ltd., S. Korea
PCT Int. Appl., 30 pp.
CODEN: PIXKU2
Patent
English
1 INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	ENT I				KINI	0	DATE			APP	LIC	:AT	ION	NO.		3		
WO	9944																	
	W:						BA,											
							GE,											
		ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU	, I	v,	MD,	MG,	MK,	MN,	MW,	MX,
		NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG	, s	I,	SK,	SL,	ΤJ,	TM,	TR,	TT.
		UA,	UG,	US,	υz,	VN,	YU,	ZW,	AM,	ΑZ	, в	Y,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	ΚĒ,	LS,	MW,	SD,	SL,	SZ,	UG	, z	w,	AT,	BE,	CH,	CY,	DE,	DK,
		ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC	, N	IL,	PT,	SE,	BF,	BJ,	CF,	CG,
		CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN	, т	D,	TG					
ZA	9901	563			Α		1999	0906		ZA	199	9-	1663	t			9990	302
TW	45399	93			В		2001	0911		TW	199	9-	8810	3118		1	9990	302
CA	2322	540			AA		1999	0910		CA	199	9-	2322	540		- 1	9990	304
CA	2322	540			С		2004	1130										
AU	9926	133			A1		1999	0920		AU	199	9-	2643	3		1	9990	304
AU	99016 45399 23229 99266 74249 99086 10681	97			B2		2002	0103				_		-		-		
BR	9908	172			A		2000	1205		BB	199	9-	R472			1	9990	304
EP	1068	182			A1		2001	0117		EP	199	9-	9065	66		- 1	9990	304
EP	1068	182			B1		2005	0608				•		-				
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TD	20000 20025 33741 20010 50631 20010 51677 29737 20000 63070	1252	۲٠,	,	T2		2001	0321		TD	200	n	2000	0252	6	•	0000	204
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.10	3374	55			B 2		2002	0213		O.F	200	U	3343	33			,,,,,	304
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17	50631	2	•		:-		2002	0426		17	100	0 -	6063	12		- 1	2220	304
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										KR	199	8-4	1363	0	;	A 3	9981	019
										wo	199	9-1	кк99		,	w 1	9990	304
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GI																		

ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1,8-Maphthyridine-3-carboxylic acid, 7-{(3S,42)-3-(aminomethyl)-4(ethoxyimino)-1-pyriolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

Protected 4-aminomethyl-3-pyrrolidinones I (R = CH2NHP2, P1, P2 = protecting groups) are manufactured by hydrogenating I (R = CN, P1 = same

protecting groups) are manufactured by mystery.

I) in the presence of a Raney-nickel catalyst in a solvent, reacting the resulting aminomethylene derivative with a compound to form P2, and selective reduction of the double bond attached to the ring. This process does not cause formation of an OH group at the 3-position, and the products are useful in the manufacture of quinolone antibiotics. Thus, hydrogenating 20 to

1-(N-tert-butoxycarbonyl)-4-cyano-3-pyrrolidinone in MeOH-NH4OH in the presence of a Raney-nickel catalyst, reacting intermediate with Li tert-butoxide in PhMe at 4-10°, adding di-tert-Bu dicarbonate to complete the reaction, and hydrogenating the 2nd intermediate in PrOH 24 in the presence of Bu3N and a Pd catalyst gave I (R = NHCOMGS), P2 = COMES) quant.
213228-16-6P
RL: IMF (Industrial manufacture); PREP (Preparation)
(hydrogenation of cyanopyrrolidinones with Raney nickel in manufacture of protected aminomethylpyrrolidinones)
213229-16-6 CAPLUS
3-Pyrrolidinones, 4-(aminomethyl)-, O-methyloxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175463-14-6P 210353-53-0P
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of deriva. of from protected aminomethylpyrrolidinones)
175463-14-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

L7 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

210353-53-0 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4(methoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,
monomethanesulfonate (9C1) (CA INDEX NAME)

Double bond geometry as shown.

2 CM

CRN 75-75-2 CMF C H4 03 S

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) cyclopropylmethyl, alkynyl, 2-haloethyl, methoxymethyl, pyridylmethyl, atyl, alkyn, (substituted) PhCH2, pyridylmethyl, etc., R3, R4 = H, alkyl, R3R4N = ring], were prepd. Thus, 1-cyclopropyl-7-chloro-6-fluoro-4-cho-1, 4-dihydro-1, 8-naphthyridine-3-carboxylic acid, 4-aminomethylpyrrolidin-3-one 0-methyloxime bistrifluoracetate, and DBU were refluxed in MeCN to give 85% 7-(4-aminomethyl-3-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-cho-1, 4-dihydro-1, 8-naphthyridine-3-carboxylic acid. The latter inhibited Staphylococcus aureus 6538p with a min. inhibitory concn. of £0.008 µg/ml.
175461-38-SP 175461-36-6P 175461-37-PP 175461-38-SP 175461-39-SP 175461-43-PP 175462-33-BP 175462-33-BP 175462-33-BP 175462-33-BP 175462-33-BP 175462-33-BP 175462-33-BP 175462-33-BP 175462-33-BP 175463-36-PP 175463-26-PP 175463-36-PP 175463-35-BP 175461-35-BP 175461-35-

N-O-CH2-Ph

175461-36-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(4-methoxyphenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9C1) (CA INDEX NAME)

Page 17 saeed

L7 ANSWER 11 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
1399:104541 CAPLUS
130:168355
Preparation of 7-(4-aminomethyl-3-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridin-3-carboxylic acid and related compounds as antibacterials.
Hong, Chang Yong, Kim, Young Kwan Kim, Se Hor Chang, Jay Hyok; Chol, Hoon, Nam, Do Hyun; Kim, Ae Ri, Lee, Jim Hwa; Park, Ki Sook
LG Chemical Ltd., S. Korea
DOCUMENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

CAPLUS COPYRIGHT 2005 ACS on STN
1999:104541 CAPLUS
1999:104541 CAPL

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869670		10000000		
	A.	19990209	US 1998-49024	19980327
KR 131999	B1	19980417	KR 1994-13604	19940616
KR 222082	B1	19991001	KR 1994-39915	19941230
KR 222083	B1	19991001	KR 1994-39930	19941230
CN 1114959	A	19960117	CN 1995-107008	19950615
CN 1058010	В	20001101		
US 5633262	Α	19970527	US 1995-490978	19950615
RU 2120940	C1	19981027	RU 1995-109449	19950615
US 5776944	A	19980707	US 1997-825992	19970404
US 5962468	A	19991005	US 1998-188063	19981109
PRIORITY APPLN. INFO.:			KR 1994-13604 A	19940616
			KR 1994-39915 A	19941230
			KR 1994-39930 A	19941230
			US 1995-490978 A	1 19950615
			US 1997-825992 A	19970404
				1 19980327
OTHER SOURCE(S):	MARPAT	130:168355		

OTHER SOURCE(S):

Title compds. [I; R = H, Me, amino; Q = CH, CF, CC1, COH, CHe, COMe, N; R1 = cyclopropyl, Et, fluorophenyl; R2 = H, alkyl, cyclopropyl,

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

175461-37-7 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[[4-[1,1-dimethylethyl)phenyl]methoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

175461-38-8 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(4-fluorophenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

175461-39-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(4-nitrophenyl)methoxy]mino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-40-2 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(2-cyanophenyl)methoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 175461-41-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-{(1,3-benzodioxol-5-ylmethoxy)iminol-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dibydro-4-oxo-(9CI) (CA INDEX NAME)

RN 175461-42-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-pyridinylmethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 175461-43-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-furanylmethoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 175462-31-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-{aminomethyl}-4-[(1-methylethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 175462-32-5 CAPLUS
CN 1,8 -Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl]-4[(cyclobutyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro4-oxo- (9CI) (CA INDEX NAME)

RN 175462-33-6 CAPLUS

1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4[(cyclopentyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (SCI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 175461-44-6 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-([carboxy(3,4-dihydroxyphenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9C1) (CA INDEX NAME)

RN 175461-45-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-[aminomethyl]-4-[[(5-fluoro-2-benzothiazolyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 175462-23-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[1,1-dimethylethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 175462-34-7 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(tetrahydro-3-furanyl)oxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 175462-35-8 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4[(cyclopropylmethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 175462-36-9 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(2-methylpropoxyl-jmino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-0Bu-i

RN 175462-37-0 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(2-propynyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9C1) (CA INDEX NAME)

HO₂CH₂-NH₂CH₂-NH₂CH

RN 175462-38-1 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-butynyloxy)imino]-1-pytrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 175462-39-2 CAPLUS CN 1,8-Maphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4[(methoxymethoxy) imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro4-oxo- (SCI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

HO₂CH₂-NH₂

RN 175463-27-1 CAPLUS (1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(ethoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAMS)

HO₂C N-OEt

RN 175463-28-2 CAPLUS 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(phenoxyimino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

HO₂C N- OPh

RN 210353-53-0 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(42)-3-(aminomethyl)-4-(menthoxylinino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1 CRN 175463-14-6 CMF C18 H20 F N5 04

Double bond geometry as shown.

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 175462-40-5 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[{2-chloroethoxy|inino|-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 175463-14-6 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4(methoxylaino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 175463-26-0 CAPLUS 1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(hydroxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2 CRN 75-75-2 CMF C H4 03 S

но— s— снз

RN 210353-54-1 CAPLUS CN 1,8-Maphthyridine-3-carboxylic acid, 7-[(4E)-3-(aminomethyl)-4(methoxylinino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 210353-55-2 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxyimino)-1pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monomethanesulfonate, trihydrate (9CI) (CA INDEX NAME)

CRN 175463-14-6 CHF C18 H20 F N5 O4

Double bond geometry as shown.

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2

CRN 75-75-2 CHF C H4 O3 S

210353-56-3 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monomethanesuifonate, hydrate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

2 СH

CRN 75-75-2

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN CMF C H4 03 S (Continued)

173463-48-6P 175463-49-7P 175463-50-0P
173463-51-1P 175463-52-2P 175463-53-3P
173463-51-7P 175463-55-5P 175463-56-6P
173463-7-7P 175463-58-6P 175463-76-0P
173463-71-1P 175463-78-2P 175463-78-3P
175463-71-1P 175463-78-2P 175463-78-3P
175463-80-6P 175463-85-1P 175463-91-9P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 7-(4-aminomethyl-3-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-cwo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid and related compds. as antibacterials)
175463-48-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(phenylmethyl)oxime, dihydrochloride (SCI) (CA INDEX NAME)

●2 HC1

175463-49-7 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-[(4-nitrophenyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

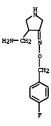
L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175463-50-0 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-{(4-methoxyphenyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

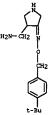
●2 HC1

175463-51-1 CAPLUS
3-Pyrrolidinons, 4-(aminomethyl)-, 0-[(4-fluorophenyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN



175463-52-2 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-[[4-(1,1-dimethyl)phenyl]methyl)oxime, dihydrochloride [9CI] (CA INDEX NAME)



175463-53-3 CAPLUS
Benzonitrile, 2-[[[[4-{aminomethyl})-3-pyrrolidinylidene]amino]oxy]methyl]-, dibydrochloride (9CI) (CA INDEX NAME)

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

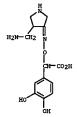
●2 HC1

175463-54-4 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(3-pyridinylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175463-55-5 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(3-furanylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) pyrrolidinylidene]amino]oxy]-3,4-dihydroxy-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

175463-70-4 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(1,1-dimethylethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175463-71-5 CAPLUS
3-Pyrrolidinons, 4-(aminomethyl)-, 0-3-butynyloxims, dihydrochloride (9CI) (CA INDEX NAME)



●2 HC1

Page 21 saeed

L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

175463-56-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-[(5-fluoro-2-benzothiazolyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175463-57-7 CAPLUS
3-Pyrrolidinone, 4-{aminomethyl}-, O-{1,3-benzodioxol-5-ylmethyl}oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175463-58-8 CAPLUS Benzeneacetic acid, $\alpha = \{\{[4-(aminomethy1)-3-$

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175463-72-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(1-methylethyl)oxime, dihydrochloride
(SCI) (CA INDEX NAME)

●2 HCl

175463-76-0 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(cyclopropylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)



175463-77-1 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(2-methylpropyl) oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 175463-78-2 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, O-2-propynyloxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

175463-79-3 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(methoxymethyl)oxime, dihydrochloride
(9C1) (CA INDEX NAME)

175463-80-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(2-chloroethyl)oxime, dihydrochloride
(9C1) (CA INDEX NAME)

●2 HC1

175463-85-1 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, bis(trifluoroacetate)
(9CI) (CA INDEX NAME)

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN CM 1 (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

175463-91-9 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, O-ethyloxime, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 175463-90-8 CMF C7 H15 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 1998:471466 CAPLUS
DOCUMENT NUMBER: 129:122580
TITLE: Preparation of quinoline(or nag

129:122580

Preparation of quinoline(or naphthyridine)-3-carboxylic acids such as 7-{4-aminomethyl-3-methyloxylminopyrrolidin-1-yl}-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid as antibacterials
Hong, Chang Yong, Kim, Young Kwan; Kim, Se Ho; Chang, Jay Hyok; Choi, Hoon; Nam, Do Hyun; Kim, Ae Ri; Lee, Jin Hwar Park, Ki Sook
LG Chemical Ltd., S. Korea
U.S., 64 pp., Cont.-in-part of U. S. 5,633,262.
CODEN: USXXXAM

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776944	A	19980707	US 1997-825992	19970404
KR 131999	В1	19980417	KR 1994-13604	19940616
KR 222082	В1	19991001	KR 1994-39915	19941230
KR 222083	В1	19991001	KR 1994-39930	19941230
CN 1114959	A	19960117	CN 1995-107008	19950615
CN 1058010	В	20001101		
US 5633262	À	19970527	US 1995-490978	19950615
RU 2120940	C1	19981027	RU 1995-109449	19950615
US 5698570	A	19971216	US 1997-791749	19970130
US 5840916	A	19981124	US 1997-825991	19970404
US 5869670	A	19990209	US 1998-49024	19980327
US 5962468	A	19991005	US 1998-188063	19981109
PRIORITY APPLN. INFO.:			KR 1994-13604 A	
			KR 1994-39915 A	
			KR 1994-39930 A	
				19950615
				19970404
				19980327
OTHER SOURCE(S):	MARPAT	129:122580		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; R = H, Me, NH2; Q = CH, CF, CCI, C(OH), C(Me), C(OMe), N; RI = cyclopropyl, Et, (un)substituted Ph; R2 = H, C1-4 alkyl, cyclopropyl, etc.; R3, R4 = H, C1-3 alkyl; R3RM = e ringl, having an excellent antibacterial activity, were prepared More specifically, the present invention relates to 7-(4-aninomethyl-3-methyloxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-cxo-1,4-dihydro-1,8-naphthyridin-3-carboxylic acid (II) or its isomers, which was prepared by reacting a quinclone III (X = halo) with a pyrrolidine oxime IV in the presence of an acid acceptor. (2)-II isomer has a superior antibacterial activity to the (E)-II isomer (as the free form or as its methanesulfonate) with, e.g., MIC of ≤ 0.008 µg/mL against Staphylococcus aureus 6538p.

175461-38-8P 175461-39-9P 175461-40-2P

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS ON STN 178461-41-3P 175461-42-4P 175461-43-5P 175461-44-6P 175461-47-PP 175462-23-4P 175462-31-6P 175462-31-6P 175462-35-8P 175462-36-9P 175462-36-8P 175462-36-8P 175462-40-5P 175462-36-8P 175462-40-5P 175463-26-9P 175463-27-1P 175463-14-6P 175463-26-0P 175463-41-6P 175463-36-9P 175463-41-6P 175463-36-0P 175463-36-1P 175463-36-8P 210353-56-8P 210353-56-3P 210353-5

210353-54-19 210353-55-29 210353-56-39 RIL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PRRP (Preparation); USSS (Uses) (prepn. of quinoline (or naphthyridine)-3-carboxylic acids as antibacterials) 13661-35-5 CAPLUS 13661-35-5 CAPLUS (1,8-Maphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-(phenylmethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-36-6 CAPLUS 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[{(4-mathoxyphenyl)methoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

175461-37-7 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[[4-(1,1-dimethylethyl)phenyl]methoxylimino]-1-pytrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

175461-41-3 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-{(1,3-benzodioxol-5-ylmethoxy)imino]-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

175461-42-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-pyridinylmethoxy)|imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-43-5 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-furanylmethoxyliatno)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175461-38-8 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-[aminomethyl]-4-[[[4-fluorophenyl]methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dibydro-4-oxo-(9CI) (CA INDEX NAME)

175461-39-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-[{(4-nitrophenyl)methoxy]imino]-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

175461-40-2 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-[{(2-cyanophanyl)methoxylimino]-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175461-44-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[carboxy(3,4-dihydroxyphenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-45-7 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-[(5-fluoro-2-benzothiazolyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175462-23-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(1,1-dimethylethoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9C1) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

HO₂CH₂-NH₂

RN 175462-31-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(1-methyl-btoxy)|imino|-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

HO₂CH₂-NH₂

RN 175462-32-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4[(cyclobutyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro4-oxo- (9CI) (CA INDEX NAME)

HO₂C P CH₂-NH₂

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-0Bu-i

RN 175462-37-0 CAPLUS

1.8-Naphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-{(2-propynyloxy)imino}-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(SCI) (CA INDEX NAME)

HO₂C | N - O - CH₂ - C = CH

RN 175462-38-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-butynyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(SCI) (CA INDEX NAME)

HO₂C H₂-CH₂-

RN 175462-39-2 CAPLUS
(1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4[(methoxymethoxy) imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

HO₂C H₂-NH₂

RN 175462-34-7 CAPLUS CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-{aminomethyl}-4-[{{tetrahydro-3-furanyl}oxy]mino}-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dibydro-4-oxo-(9CI) (CA INDEX NAME)

HO₂C CH₂-NH₂

RN 175462-35-8 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(cyclopropylmethoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

HO₂CH₂-NH₂

RN 175462-36-9 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(2-methylpropoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-0-CH2-OMe

RN 175462-40-5 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(2-chloroethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

N-0-CH₂-CH₂C1

RN 175463-14-6 CAPLUS
CN 1,8-Maphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4(methoxylinino)-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo(9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO₂C NH₂ NH₂ NH₂ NH₂

RN 175463-26-0 CAPLUS

(1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(hydroxyimino)-1-pytrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175463-27-1 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(ethoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175463-28-2 CAPLUS
1.8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(phenoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1.4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

210353-53-0 CAPLUS
1,8-Naphthyridina-3-carboxylic acid, 7-[(42)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, monomethaneulfonate (SCI) (CA INDEX NAME)

CRN 175463-14-6 CMF C18 H20 F N5 O4

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN CRN 175463-14-6 CMF C18 H20 F N5 O4 (Continued)

Double bond geometry as shown.

CM 2

CRN 75-75-2 CMF C H4 03 S

210353-56-3 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxyimino)-1pyrcolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,
monomethanesulfonate, hydrate (2:3) (9CI) (CA INDEX NAME)

CRN 175463-14-6 CMF C18 H20 F N5 O4

Double bond geometry as shown.

CM 2

CRN 75-75-2

Page 25 saeed

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Double bond geometry as shown.

210353-54-1 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-{(4E)-3-(aminomethyl)-4-(methoxyimino)-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

210353-55-2 CAPLUS

1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(methoxyimino)-1pyrcolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-,
monomethanesulfonate, trihydrate (9CI) (CA INDEX NAME)

CM 1

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN CMF C H4 03 S

175463-48-69 175463-49-7P 175463-50-0P
175463-51-1P 175463-52-2P 175463-53-3P
175463-51-1P 175463-55-55 175463-56-6P
175463-57-7P 175463-58-8P 175463-70-4P
175463-71-1P 175463-78-2P 175463-79-3P
175463-80-6P 175463-85-1P 175463-79-3P
175463-80-6P 175463-85-1P 175463-91-9P
RI: RCT (Reactant) SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(Reactant or reagent)
(preparation of quinoline(or naphthyridine)-3-carboxylic acids as antibacteriels)
3-2yrrolldinone, 4-(aminomethyl)-, O-(phenylmethyl)oxime, dihydrochloride (9CI)- (CA INDEX NAME)

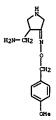
●2 HC1

175463-49-7 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-[(4-nitrophenyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

■2 HC

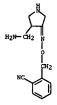
RN 175463-50-0 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-[(4-methoxyphenyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)



●2 HC1

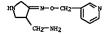
RN 175463-51-1 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-[(4-fluorophenyl)methyl]oxime,
dihydrochloride (9G1) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HC1

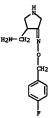
RN 175463-54-4 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-(3-pyridinylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)



●2 HC1

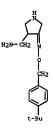
RN 175463-55-5 CAPLUS
CN 3-Pytrolidinone, 4-(aminomethyl)-, 0-(3-furanylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HC1

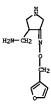
RN 175463-52-2 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-((4-(1,1-dimethylethyl)phenyl]methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 175463-53-3 CAPLUS
CN Benzonitrile, 2-[[[(4-(aminomethyl)-3-pyrrolidinylidene]amino]oxy]methyl], dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HC1

RN 175463-56-6 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-[(5-fluoro-2-benzothiazolyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 175463-57-7 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-(1,3-benzodioxol-5-ylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 175463-58-8 CAPLUS
CN Benzeneacetic acid, α-[{(4-(aminomethyl)-3-pyrrolidinylidene}amino]oxy}-3,4-dihydroxy-, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

175463-70-4 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(1,1-dimethylethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

175463-71-5 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-3-butynyloxime, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

175463-72-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-{1-methylethyl}oxime, dihydrochloride
{9CI} (CA INDEX NAME)

●2 HC1

175463-76-0 CAPLUS
3-Pyrrolidinone, 4-{aminomethyl}-, 0-(cyclopropylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

175463-77-1 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-(2-methylpropyl)oxime,
dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

●2 HC1

175463-78-2 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, 0-2-propynyloxime, dihydrochloride
(9C1) (CA INDEX NAME)

● 2 HC1

175463-79-3 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(methoxymethyl)oxime, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

175463-80-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(2-chloroethyl)oxime, dihydrochloride
(9C1) (CA INDEX NAME)

L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

175463-85-1 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, bis(trifluoroacetate)
(9CI) (CA INDEX NAME)

CRN 175463-84-0 CMF C6 H13 N3 O

CM 2

175463-91-9 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, O-ethyloxime, bis(trifluoroacetate) (SCI) (CA INDEX NAME)

CH 1

CRN 175463-90-8 CMF C7 H15 N3 O

ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
197143-48-9P 197143-49-0P 197143-50-3P
197143-51-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PEEP (Preparation)
(prepn. of antibacterial aminomethyl(oximno)pyrrolidinylquinolinones)
175463-14-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[(4Z)-3-(aminomethyl)-4-(methoxylimno)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown

H020

197143-43-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[{42}-3-(aminomethyl)-4-(ethoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

197143-44-5 CAPLUS 1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(propoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, (2)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

DOCUMENT NUMBER: TITLE:

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
1997:633458 CAPLUS
127:307319
Novel Fluoroquinolone Antibacterial Agents Containing
Oxime-Substituted (Aminomethyl)pyrrolidines: Synthesis
and Antibacterial Activity of 7-(4-(Aminomethyl)-3(methoxyimino)pyrrolidin-1-yl)-1-cyclopropyl
-6-fluoro-4-oxo-1,4-dihydro[1,8)naphthyridine-3carboxylic Acid (LB20304)
Hong, Chang Yong, Xim, Young Kvan, Chang, Jay Hyok,
Xim, Se Hor Choi, Hoonr Nam, Do Hyun Kim, Yong Zu
Kwak, Jin Hwan
Biotech Research Institute, LG Chem Research Park,
Tas-Jon, 305-380, S. Korea
Journal of Hedicinal Chemistry (1997), 40(22),
3544-3593
CODEN: JMCMAR, ISSN: 0022-2623
American Chemical Society
Journal
English

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI

Title compds. I {X = CF, CCl, CH, COMe, N; R, Rl = H, Me; R2 = Me, Pr, CHMe2, CMe3, CH2Ph, Ph; R3 = H, NH2; R4 = Et, cyclopropyl, 2.4-F2C6H3; were prepared from the quinolone and the pyrrolidinone fragments. These fluoroquinolones possess potent antimicrobial activity spainst both Gram-neg, and Gram-pos. organisms, including methicillin-resistant Staphylococcus aureus (MRSA). The activity imparted to the substituted quinolone nucleus by the C-8 substituent was in the order F (C5-NH2) > F (C5-H) > naphthyridine > Cl = OMe = H against Gram-pos. organisms. In the case of Gram-neg. strains, activity was in the order F (C5-NH2) > naphthyridine > F (C5-H) > H > Cl > OMe. The advantages provided by the newly introduced oxime group of the quinolones were clearly demonstrated by their comparison to a desoximino compound in addition, the oxime molety greatly improved the pharmacokinetic parameters of the novel quinolones. LB20304 (I, X = N, R, R, R, 3 = H, R2 = Me, R4 = cyclopropyl) showed the best in vivo efficacy and pharmacokinetic profile in animals, as well as good phys. properties.

175463-14-69 197143-43-49 197143-44-59 197143-47-69

1

L7 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

197143-45-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[{1-methylethoxy}imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, (2)- (9CI) (CA INDEX NAME)

197143-46-7 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(1,1-dimethyl)thoxy);mino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, (2) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

197143-47-8 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4[(phenylmethoxy)|imino]-1-pyrrolidinyl)-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, (2)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

NH2

197143-48-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(phenoxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, (Z)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

197143-49-0 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(hydroxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-, (Z)- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

197143-50-3 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7[3-(methoxyimino)-4-[(methylamino)methyl]-1-pyrrolidinyl]-4-oxo-, (2)+

Double bond geometry as shown.

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME)

197143-51-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 1-cyclopropyl-7-{3[(dimethylamino) methyl]-4-(methoxyimino) -1-pyrrolidinyl]-6-fluoro-1,4dihydro-4-oxo-, (Z)- (9CI) (CA INDEX NAME)

(Continued)

Double bond ceometry as shown.

IT 197143-52-5 197143-53-6 197143-54-7
197143-55-8
RL: RCT (Reactant), RACT (Reactant or reagent)
(preparation of antibacterial
aminomethyl (oximino) pyrrolidinylquinolinones)
RN 197143-52-5 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-propyloxime, dihydrochloride, (Z)(9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

●2 HC1

197143-53-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(1-methylethyl)oxime, dihydrochloride, (2)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HC1

197143-54-7 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(1,1-dimethylethyl) oxime, dihydrochloride, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

●2 HC1

197143-55-8 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(phenylmethyl)oxime, dihydrochloride, (2)- (921) (CA INDEX NAME)

Double bond geometry as shown.

L7 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

IT 197143-35-4P

RI: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antibacterial
aminomethyl (oximino) pyrrolidinone;
RN 197143-35-4 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, dihydrochloride, (3Z)(3CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
11996:237481 CAPLUS
124:289515

ITITLE:
1124:289515

Preparation of novel 7-{(4-aminomethyl-3-alkoxyminino)pyrrolidin-1-yl]quinoline-3-carboxylic acid derivatives as antibacterial agents
RVak, Jin Hwann Jeong, Yi Nar Oh, Jeong In
LG Chemical Ltd., S. Korea
EVENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
1996:237481 CAPLUS
Preparation of novel 7-{(4-aminomethyl-3-alkoxyminino)pyrrolidin-1-yl]quinoline-3-carboxylic acid derivatives as antibacterial agents
RVak, Jin Hwann Jeong, Yi Nar Oh, Jeong In
LG Chemical Ltd., S. Korea
EVENT PATENT NORDHATION:
EMPLOYED
EMPLO

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 688772	A1	19951227	EP 1995-250143	19950614
KP 688772	B1	19990506		
R: CH, DE, DK,	FR. GB	. IT. LI. NI	. SE	
KR 131999		19980417		19940616
XR 222082	B1	19991001		19941230
KR 222083	B1	19991001	KR 1994-39930	19941230
CA 2151890	AA	19951217	CA 1995-2151890	19950615
CA 2151890	C	19990112		
CN 1114959	Ā	19960117	CN 1995-107008	19950615
CN 1058010	В	20001101		
JP 08041050	A2	19960213	JP 1995-149125	19950615
JP 2742248	B2	19980422		
RU 2120940	C1	19981027	RU 1995-109449	19950615
PRIORITY APPLN. INFO.:				19940616
			KR 1994-39915 A	
			KR 1994-39930 A	
		104 000515	W 1334-23320 N	19941230
OTHER SOURCE(S): GI	MARPAT	124:289515		

(Continued) L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

175461-36-6 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[4-methoxyphenyl]methoxyl imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-37-7 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[[4-{1,1-dimethylethyl)phenyl]methoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-38-8 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-[aminomethyl)-4-[[(4-fluorophenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I [Q = CH, CF, CC1, C(OH), C(Me), C(OMe), N; R = H, Me, NH2; R1 = cyclopropyl, Et, fluoro-substituted phenyl, R2 = H, C1-C4 alkyl, C3-C6 alkypl, (substituted) benzyl, etc.; R3, R4 = H, C1-C3 alkyl, etc.] were prepared Reaction of II with III.2CF3COOH in the presence of 1,8-diazabicyclo[5.4.0]undec7-ene in MeCN under reflux afforded 85% I [Q = N; R, R3, R4 = H; R1 = cyclopropyl; R2 = Me] which showed MIC of S0.008 mg/ml against Staphylococcus aureus giorgio and Staphylococcus aureus 6538p, Staphylococcus aureus giorgio and Staphylococcus epidermidis 178 vs. 0.25 mg/mL with Ofloxacin.
173461-25-59; 173461-36-669; 173461-37-79; 173461-41-39; 173461-43-99; 173461-40-29; 173461-44-97; 173461-45-79; 173461-35-99; 173461-40-29; 173462-31-49; 173462-33-89; 173462-33-69; 173462-31-49; 173462-33-89; 173462-33-69; 173462-31-46; 173462-31-46; 173462-36-99; 173462-31-46;

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175461-39-9 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(4-ntrophenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

175461-40-2 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(2-cyanophanyl)methoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-41-3 CAPLUS
1.8-Maphthyridine-3-carboxylic acid, 7-[3-{aminomethyl}-4-[(1,3-benzodioxol-5-ylmethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175461-42-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-pyridinylmethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (SCI) (CA INDEX NAME)

175461-43-5 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-furanylmethoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175461-44-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-{3-(aminomethyl)-4-[[carboxy{3,4-dihydroxyphenyl)methoxy]imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9C1) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

HO₂C CH2-NH2

175461-45-7 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(5-fluoro-2-benzothiazolyl)nethoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175462-23-4 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(1,1-dimethylethoxylimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175462-31-4 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(1-methylethoxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (3C1) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

-OPr-i сн2-ин2

175462-32-5 CAPLUS

1/8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(cyclobutyloxylimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX MAME)

175462-33-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(cyclopentyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(SCI) (CA INDEX NAME)

175462-34-7 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[[(tetrahydro-3-furanyl)oxy]mino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

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175462-35-8 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(cyclopropylmethoxylimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175462-36-9 CAPLUS
1,8-Msphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(2-methyl)propoxylimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175462-37-0 CAPLUS
1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(2-propynyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

o-cn₂-c=cn CH2-NH2

175462-38-1 CAPLUS 1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-[(3-butynyloxy)imino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

о−си₂−си₂−с≔си CH2-NH2

175462-39-2 CAPLUS 1,8-Naphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-([methoxymethoxy);mino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

175462-40-5 CAPLUS 1,8-Maphthyridine-3-carboxylic acid, 7-[3-{aminomethyl}]-4-{{2-chloroethoxy}imino}-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (SCI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

175463-28-2 CAPLUS 1,8-Maphthyridine-3-carboxylic acid, 7-[3-[aminomethyl]-4-[phenoxyimino]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

175463-48-6P 175463-49-7P 175463-50-0P
175463-351-1P 175463-52-2P 175463-53-3P
173463-36-4P 175463-55-5P 175463-76-6P
175463-77-P 175463-75-6-8P 175463-76-0P
175463-71-1P 175463-78-2P 175463-79-3P
175463-80-6P 175463-85-1P 175463-91-9P
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(preparation of novel 7-[(4-aminomethyl-3-alkoxyimino)pyprolidin-1-yllquinoline-3-carboxylic acid derivs. as antibacterial agents)
175463-48-6 CAPUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(phenylmethyl)oxime, dihydrochloride
(9CI) (CA INDEX NAME) IT

●2 HC1

RN 175463-49-7 CAPLUS CN 3-Pyrrolidinone, 4-(sminomethyl)-, O-[(4-nitrophenyl)methyl]oxime, Page 32 saeed

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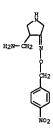
175463-14-6 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[(42)-3-(aminomethyl)-4-(methoxylmino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

175463-26-0 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(hydroxyimino)-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9C1) (CA INDEX NAME)

175463-27-1 CAPLUS
1,8-Maphthyridine-3-carboxylic acid, 7-[3-(aminomethyl)-4-(ethoxyimino)-1-pyrrolidinyl}-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN dihydrochloride (9CI) (CA INDEX NAME) (Continued)



●2 HC1

175463-50-0 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-((4-methoxyphenyl)methyl]oxime, dihydrochioride (9C1) (CA INDEX NAME)

●2 HC1

175463-51-1 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-[(4-fluorophenyl)methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

17 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

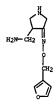
●2 HC1

RN 175463-52-2 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-[[4-(1,1-dimethyl)phenyl]methyl]oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

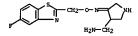
RN 175463-53-3 CAPLUS
CN Benzonitrile, 2-[[[[4-(aminomethyl)-3-pyrrolidinylidene]amino]oxy]methyl], dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HC1

RN 175463-56-6 CAPLUS
CN 3-Pytrolidinone, 4-(aminomethyl)-, O-[(5-fluoro-2-benzothiazolyl)methyl]oxime, dihydrochloride (9Cl) (CA INDEX NAME)



•2 HCl

RN 175463-57-7 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-(1,3-benzodioxol-5-ylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 175463-58-8 CAPLUS

Benzeneacetic acid, a-[[[4-{aminomethyl}]-3-pyrrolidinylidene]amino]oxy}-3,4-dihydroxy-, dihydroxhloride (SCI) (CA INDEX NAME)

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L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

RN 175463-54-4 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-(3-pyridinylmethyl)oxime,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 175463-55-5 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-(3-furanylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HCl

RN 175463-70-4 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, 0-(1,1-dimethylethyl)oxime,
dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 175463-71-5 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-3-butynyloxime, dihydrochloride (9CI)
(CA INDEX NAME)

●2 HC1

RN 175463-72-6 CAPLUS
CN 3-Pyrrolidinone, 4-(aminomethyl)-, O-(1-methylethyl)oxime, dihydrochloride
(9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

175463-76-0 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(cyclopropylmethyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

175463-77-1 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(2-methylpropyl)oxime, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN CH $\,$ 1

CM 2

CRN 76-05-1 CMF C2 H F3 O2

175463-91-9 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-ethyloxime, bis(trifluoroacetate)
(9CI) (CA INDEX NAME)

CRN 175463-90-8 CMF C7 H15 N3 O

CH 2

CRN 76-05-1 CMF C2 H F3 O2

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ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 175463-78-2 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, 0-2-propynyloxime, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175463-79-3 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(methoxymethyl)oxime, dihydrochloride
(9C1) (CA INDEX NAME)

●2 HC1

175463-80-6 CAPLUS
3-Pyrrolidinone, 4-(aminomethyl)-, O-(2-chloroethyl)oxime, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

175463-85-1 CAPLUS 3-Pyrrolidinone, 4-(aminomethyl)-, O-methyloxime, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)